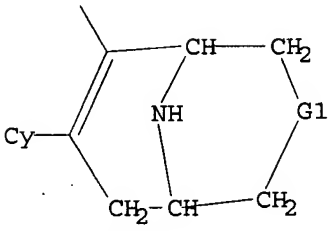
EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	737	(544/47,105).ccls.	USPAT	OR	OFF	2007/07/18 17:19
L2	645	(514/224.2,230.5).ccls.	USPAT	OR	OFF	2007/07/18 17:20
L3	1131	l1 l2	USPAT	OR	OFF	2007/07/18 17:20
L4	107068	RAS$	USPAT	OR	OFF	2007/07/18 17:20
L5	56	l3 and l4	USPAT	OR	OFF	2007/07/18 17:21



G1 O,S,SO2

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 13:20:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      446 TO ITERATE

100.0% PROCESSED      446 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         7653 TO    10187
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 13:21:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     8299 TO ITERATE

100.0% PROCESSED     8299 ITERATIONS                          8 ANSWERS
SEARCH TIME: 00.00.01

L3             8 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               172.10     172.31

FILE 'CAPLUS' ENTERED AT 13:21:12 ON 18 JUL 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 18 Jul 2007 VOL 147 ISS 4
FILE LAST UPDATED: 17 Jul 2007 (20070717/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

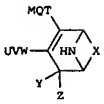
=> s l3
L4 2 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:395318 CAPLUS
DOCUMENT NUMBER: 142:463606
TITLE: Preparation of azabicycloalkenes as renin inhibitors
INVENTOR(S): Bezencon, Olivier; Sifferlen, Thierry; Bur, Daniel; Fischli, Walter; Weller, Thomas; Remen, Lubos; Richard-Bildstein, Sylvia
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

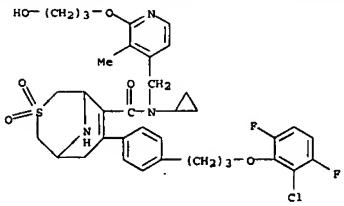
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040173	A1	20050506	WO 2004-EP11704	20041018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004283854	A1	20050506	AU 2004-283854	20041018
CA 2540817	A1	20050506	CA 2004-2540817	20041018
EP 1680427	A1	20060719	EP 2004-765982	20041018
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1930170	A	20070314	CN 2004-80030679	20041018
JP 2007509099	T	20070412	JP 2006-536020	20041018
US 2007135405	A1	20070614	US 2006-576904	20060421
IN 2006CN01802	A	20070706	IN 2006-CN1802	20060523
PRIORITY APPLN. INFO.:			WO 2003-EP311740 A	20031023
			WO 2004-EP11704 W	20041018

OTHER SOURCE(S): MARPAT 142:463606
GI

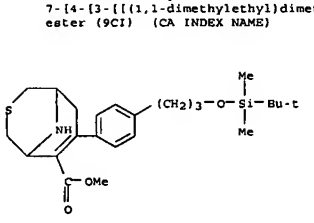


I

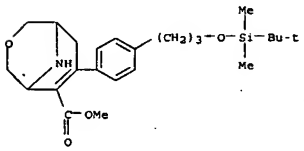
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 851377-84-9P 851377-85-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azabicycloalkenes as renin inhibitors)
RN 851377-84-9 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid, 7-[4-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



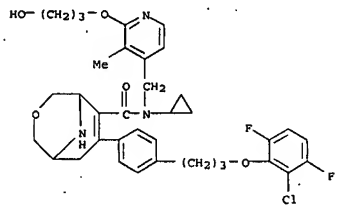
RN 851377-85-0 CAPLUS
CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid, 7-[4-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. [I; Y, Z = H, F, Me; YZ = atoms to form a cyclopropyl ring; X = CH2CHKCH2, CH2CH2, CH2OCH2; CH2SCH2, CH2SOCH2, CH2SO2CH2, CONLCHR6; W = Ph, heteroaryl; V = bond, (CH2)r, A(CH2)s, OCHMeCH2O, etc.; A = O, S, SO, SO2; U = aryl, heteroaryl; T = CONR1, (CH2)pO2C, CO2, etc.; Q = alkylene, alkenylene; M = ArO(CH2)vR5, ArOCH2CH2O(CH2)wR5; Ar = aryl, heteroaryl; K = H, CH2OR3, CH2NR2R3, etc.; L = R3, COR3, CO2R3, CONR2R3, SO2R3, SO2NR2R3; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, cycloalkylalkyl; R2 = H, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl; R3 = H, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, heterocyclyl, etc.; R5 = OH, O2CR2, CO2R2, cyano, SO3H, morpholinocarbonyl, etc.; R6 = H, (substituted) alkyl, alkoxy; p = 1-4; r = 1-6; v = 2-4; w = 1, 2], were prepared Thus, rac-(1R*,5S*)-3-[4-[2-(2,6-dichloro-4-methylphenoxy)ethoxy]phenyl]-8-azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid cyclopropyl[2-(3-hydroxypropoxy)-3-methylpyridin-4-ylmethyl]amide (multistep preparation given) inhibited human recombinant renin with IC50 = 0.18 nM.
IT 790697-25-5P 790697-26-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of azabicycloalkenes as renin inhibitors)
RN 790697-25-5 CAPLUS
CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 790697-26-6 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl]methyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

OWN WORK

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

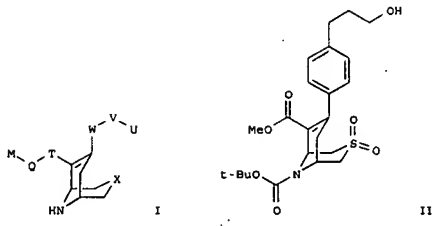
ACCESSION NUMBER: 2004:965123 CAPLUS
DOCUMENT NUMBER: 141:410817
TITLE: Preparation of 9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid derivatives with an oxygen or sulfur heteroatom at the 3-position as renin inhibitors
INVENTOR(S): Bezencon, Oliver; Bur, Daniel; Fischli, Walter; Remen, Lubos; Richard-Bildstein, Sylvia; Weller, Thomas; Sifferlen, Thierry
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096366	A1	20041111	WO 2004-EP4371	20040426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004233575	A1	20041111	AU 2004-233575	20040426
CA 2521938	A1	20041111	CA 2004-2521938	20040426
EP 1622685	A1	20060208	EP 2004-729430	20040426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004009884	A	20060523	BR 2004-9884	20040426
CN 1780663	A	20060531	CN 2004-80011637	20040426
JP 2006524655	T	20061102	JP 2006-505260	20040426
NO 2005004974	A	20051128	NO 2005-4974	20051026
US 2006258648	A1	20061116	US 2005-554702	20051027

PRIORITY APPLN. INFO.:

WO 2003-EP4492	A	20030430
WO 2003-EP304492	A	20030430
WO 2003-EP344924	A	20030430
WO 2004-EP4371	W	20040426

OTHER SOURCE(S): MARPAT 141:410817
GI



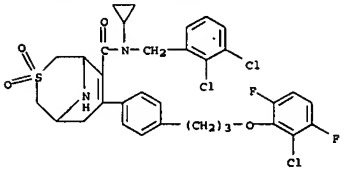
I II

AB The authors prepared the title compds. I [X = O, S, SO, SO2; W = 6-membered non-benzofused Ph, heteroaryl substituted by V in meta or para position; V = (CH2)r, A(CH2)vB, (CH2)3ACH2, OCH2CH(OMe)CH2O, etc., r = 3-6, v = 2-4, A, B = independently O, S, SO, SO2; U = (hetero)aryl; T= CONR1, (CH2)pOCO, (CH2)pNR1CO, (CH2)pNR1SO2, CO2, R1 = H, (cyclo)alkyl, alkenyl, alkynyl, aryl, p = 1-4; Q = alkylene, alkenylene; M = H, cycloalkyl, (hetero)aryl, heterocyclic] to be used in treatment or prophylaxis of various disorders and diseases associated with the renin-angiotensin system. For example, I [X = SO2, T = CONR1, R1 = cyclopropyl, Q = CH2, M = 2,3-Cl2C6H3, W = 4-C6H4(CH2)3O, U = 2-Cl-3,6-F2C6H3] was prepared from dicarboxylic acid II via addition of 2-chloro-3,6-difluorophenol followed by hydrolysis of the Me ester, amidation with cyclopropyl(2,3-dichlorobenzyl)amine, and removal of the BOC group.

IT 790697-22-2P 790697-23-3P 790697-24-4P 790697-25-5P 790697-26-6P 790697-27-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclononenecarboxylic acid cyclopropyl ester derivs. for treatment or prophylaxis of disorders and diseases associated with the renin-angiotensin system)

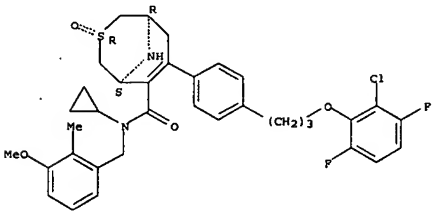
RN 790697-22-2 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 790697-23-3 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-, 3-oxide, (1R,3R,5S)-rel- (9CI) (CA INDEX NAME)

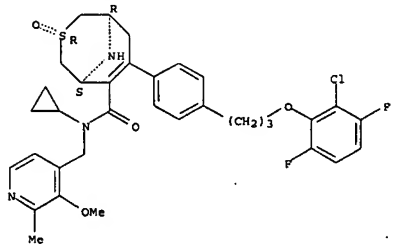
Relative stereochemistry.



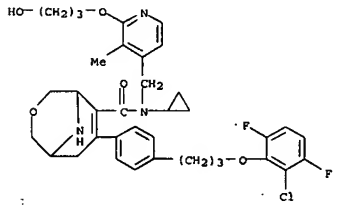
RN 790697-24-4 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methyl-4-pyridinyl)methyl]-, 3-oxide, (1R,3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

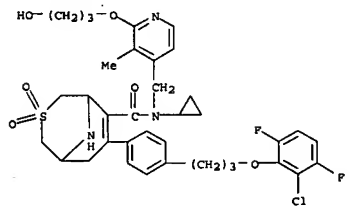


RN 790697-25-5 CAPLUS
CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

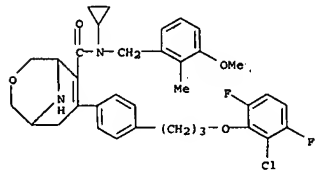


RN 790697-26-6 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl]methyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 790697-27-7 CAPLUS
CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

KM

Day : Wednesday
Date: 7/18/2007
Time: 14:35:11

PALM INTRANET

Inventor Information for 10/554702

Inventor Name	City	State/Country
BEZENCON, OLIVIER	RIEHEN	SWITZERLAND
BUR, DANIEL	ALLSCHWIL	SWITZERLAND
FISCHLI, WALTER	ALLSCHWIL	SWITZERLAND
REMEN, LUBOS	ALLSCHWIL	SWITZERLAND
RICHARD-BILDSTEIN, SYLVIA	DIETWILLER	FRANCE
WELLER, THOMAS	BINNINGEN	SWITZERLAND
SIFFERLEN, THIERRY	GUEWENHEIM	GERMANY

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity/Reexam | Foreign D

Search Another: Application # [ ] Search **or Patent#** [ ] Search

PCT / [ ] / [ ] Search **or PG PUBS #** [ ] Search

Attorney Docket # [ ] Search

Bar Code # [ ] Search

To go back use Back button on your browser toolbar.

Back to PALM | ASSIGNMENT | OASIS | Home page